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FILE 'HOME' ENTERED AT 11:32:37 ON 23 OCT 2004

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COST IN U.S. DOLLARS SINCE FILE
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STRUCTURE FILE UPDATES: 21 OCT 2004 HIGHEST RN 767117-28-2
DICTIONARY FILE UPDATES: 21 OCT 2004 HIGHEST RN 767117-28-2

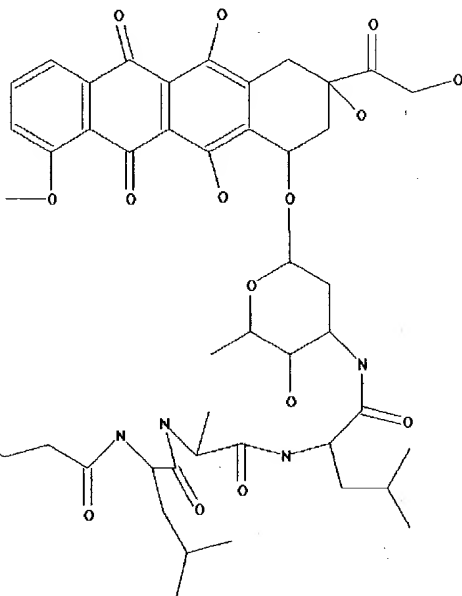
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> uploading H:\STN queries\09879442.str



11-12 12-13 12-15 13-14 13-18 15-16 16-17 17-18 25-26 25-30
26-27 27-28 28-29 29-30
exact/norm bonds :
4-7 5-10 6-21 7-8 7-19 9-10 10-20 11-72 12-15 13-18 14-23
15-16 16-17 16-68 17-18 18-24 21-22 24-28 25-26 25-30 25-32
26-27 27-28 28-29 29-30 30-31 31-34 34-35 36-41 41-42 42-44
43-54 46-51 51-52 52-53 54-55 55-56 58-59 59-60 60-61 64-65
64-66 67-69 70-71
exact bonds :
16-67 26-33 34-36 36-37 37-38 38-39 38-40 42-43 43-45 46-47
46-55 47-48 48-49 48-50 52-57 57-58 60-62 62-63 63-64 67-70
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-11 9-14 11-12 12-13 13-14

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom
9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom
17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS
24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS
38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS
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66:CLASS 67:CLASS 68:CLASS 69:CLASS 70:CLASS 71:CLASS 72:CLASS

L1 STRUCTURE UPLOADED

=> s 11 sam fam
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SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 0
ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA FAM SAM L1

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ANSWERS
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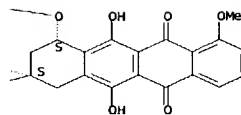
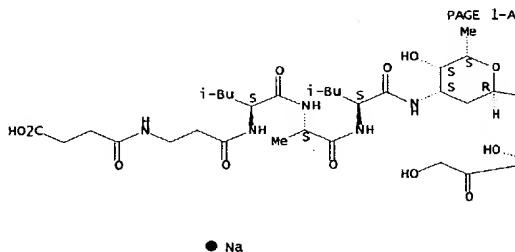
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chain nodes :
19 20 21 22 23 24 31 32 33 34 35 36 37 38 39 40 41
42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58
59 60 61 62 63 64 65 66 67 68 69 70 71 72
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 25 26
27 28 29 30
chain bonds :
6-21 7-19 10-20 11-72 14-23 16-67 16-68 18-24 21-22 24-28
25-32 26-33 30-31 31-34 34-35 34-36 36-37 36-41 37-38 38-39
38-40 41-42 42-43 43-45 43-54 46-51 46-47 46-55 47-48
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ring bonds :
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L3 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 372491-73-1 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C49 H65 N5 O18 . Na
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER
 DT,CA Caplus document type: Journal
 RL,NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)
 CRN (274912-87-7)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 135:362468 CA Full-text
 TITLE: N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly tumor-activated prodrug devoid of intravenous acute toxicity
 AUTHOR(S): Fernandez, Anne-Marie; Van derpoorten, Kim; Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl, Gangwar, Sanjeev; Oliyai, Cecilia; Lewis, Evan R.; Shochat, Dan; Trouet, Andre
 CORPORATE SOURCE: Laboratory of Cell Biology, Universite Catholique de Louvain, Louvain-la-Neuve, B-1348, Belg.
 SOURCE: Journal of Medicinal Chemistry (2001), 44(22), 3750-3753
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES
 AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

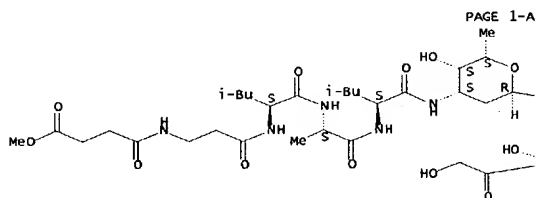
RE FORMAT

L3 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 274913-07-4 REGISTRY
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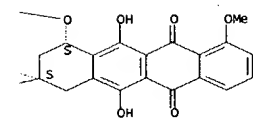
FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C50 H67 N5 O18
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT,CA Caplus document type: Patent
 RL,P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PAGE 1-B



3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 138:29142 CA Full-text
 TITLE: CD10-activated prodrug compounds
 INVENTOR(S): Bebbington, Christopher R.; Nieder, Matthew

H.; Cardarelli, Pina M.; Gangwar, Sanjeev;
 Pickford, Lesley B.; Pan, Chin
 PATENT ASSIGNEE(S): Medarex, Inc., USA
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100353	A2	20021219	WO 2002-US21135	20020610
WO 2002100353	A3	20030522		
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EP 1404356	A2	20040407	EP 2002-746852	20020611
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PRIORITY APPLN. INFO.:	US 2002-167627	20020611	US 2001-297596P	20010611
	WO 2002-US21135	20020611		

REFERENCE 2

ACCESSION NUMBER: 137:284323 CA Full-text
 TITLE: Enzyme-cleavable prodrug compounds
 INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew
 Yarranton, Geoffrey T.
 PATENT ASSIGNEE(S): Belg.
 SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.
 No. PCT/US99/30393.

DOCUMENT TYPE: CODEN: USXXCO
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: 3 English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

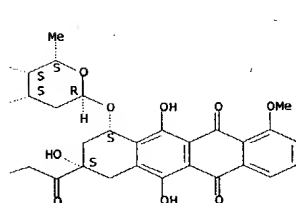
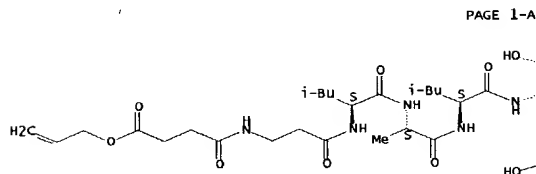
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PRIORITY APPLN. INFO.:
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg. U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.
SOURCE: No. PCT/US99/30393.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1144011 A2 20011017 19991210
EP 1144011 A3 20020206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2000-586378 19991210
JP 2003518000 T2 20030603
AU 773420 B2 20040527
US 2002142955 A1 20021003

PRIORITY APPLN. INFO.:
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

L3 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274913-03-0 REGISTRY
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[1,4-dioxo-4-(2-propenyloxy)butyl]-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF CS2 H69 N5 O18
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
DT:CA Caplus document type: Patent
RL:P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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PRIORITY APPLN. INFO.:
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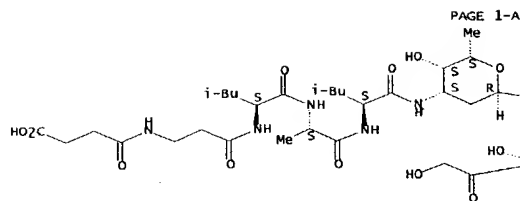
REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
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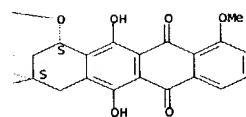
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 US 1999-119312P 19990208
 WO 1999-US30393 19991210
 US 2000-211887P 20000614
 US 2001-290448P 20010511



PAGE 1-8

L3 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN
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 hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-
 (hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)
 OTHER NAMES:
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 CN SALAL-Dox
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C49 H65 N5 O18
 CI COM
 SR CA
 LC STN Files: CA, CAPLUS, PROUSDDR, SYNTHLINE, TOXCENTER,
 USPATFULL
 DT.CA Caplus document type: Journal; Patent
 RL.P Roles from patents: BIOL (Biological study); PREP
 (Preparation); PRP
 (Properties); USES (Uses)
 RL.NP Roles from non-patents: BIOL (Biological study); USES (Uses)
 RELATED SEQUENCES AVAILABLE WITH SEQLINK
 Absolute stereochemistry.



7 REFERENCES IN FILE CA (1907 TO DATE)
 7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 140:156884 CA Full-text
 TITLE: CD10 Is a Key Enzyme Involved in the
 Activation of Tumor-activated Peptide Prodrug CPI-0004Na
 and Novel Analogues: Implications for the Design of
 Novel Peptide Prodrugs for the Therapy of CD10+
 Tumors
 AUTHOR(S): Pan, Chin; Cardarelli, Pina M.; Nieder,
 Matthew H.; pickford, Lesley B.; Gangwar, Sanjeev; King,
 David J.; Yarranton, Geoffrey T.; Buckman, Dana;
 Roscoe, William; Zhou, Fengmin; Salles, Adam; Chen,

Tseng-Hui;
 Horgan, Killian; wang, Yi-Hong; Nguyen, Thi;
 Bebbington, Christopher R.
 Corixa Corp., South San Francisco, CA,
 Cancer Research (2003), 63(17), S526-S531
 CODEN: CNREA8; ISSN: 0008-5472
 American Association for Cancer Research
 English
 20 THERE ARE 20 CITED REFERENCES
 RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

REFERENCE 2

ACCESSION NUMBER: 138:29142 CA Full-text
 TITLE: CD10-activated prodrug compounds
 INVENTOR(S): Bebbington, Christopher R.; Nieder, Matthew
 H.; Cardarelli, Pina M.; Gangwar, Sanjeev;
 Pickford, Lesley B.; Pan, Chin
 Medarex, Inc., USA
 PCT Int. Appl., 167 pp.
 CODEN: P10X02
 Patent
 English
 DOCUMENT TYPE:
 LANGUAGE:
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100353	A2	20021219	WO 2002-US21135	20020610
WO 2002100353	A3	20030522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1404356	A2	20040407	EP 2002-746852	20020611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2004087497 A1 20040506 US 2002-167627 20020611
 PRIORITY APPLN. INFO.: US 2001-297596P 20010611
 WO 2002-US21135 20020611

REFERENCE 3

ACCESSION NUMBER: 137:284323 CA Full-text
 TITLE: Enzyme-cleavable prodrug compounds
 INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;
 Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;
 Nieder, Matthew H.; pickford, Lesley B.; Trouet, Andre;
 Yarranton, Geoffrey T.
 Belg.
 U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part
 of Appl.
 No. PCT/US99/30393.
 CODEN: USXXCO
 Patent
 English
 DOCUMENT TYPE:
 LANGUAGE:
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: US 1998-111793P 19981211 US 1999-119312P 19990208 WO 1999-US30393 19991210 US 2000-211887P 20000614 US 2001-290448P 20010511				

REFERENCE 4

ACCESSION NUMBER: 137:241802 CA Full-text
 TITLE: CPI-0004Na, a new extracellularly tumor-

activated
activity,
selectivity
AUTHOR(S):
Karim; Collot,
Nathalie;
oliyai,
Yarranton,
CORPORATE SOURCE:
of Cell
SOURCE:
PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
REFERENCE COUNT:
AVAILABLE FOR THIS

prodrug of Doxorubicin: in vivo toxicity,
and tissue distribution confirm tumor cell
Dubois, Vincent; Dasnois, Luc; Lebtahi,
Francoise; Heylen, Nathalie; Havaux,
Fernandez, Anne-Marie; Lobl, Thomas J.;
Cecilia; Nieder, Matthew; Shochat, Dan;
Geoffrey T.; Trouet, Andre
Universite Catholique de Louvain, Laboratory
Biology, Louvain-la-Neuve, B-1348, Belg.
Cancer Research (2002), 62(8), 2327-2331
CODEN: CNREA8; ISSN: 0008-5472
American Association for Cancer Research
Journal
English
36 THERE ARE 36 CITED REFERENCES

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

REFERENCE 5

ACCESSION NUMBER: 136:86054 CA Full-text
TITLE: Tripeptide prodrug compounds
INVENTOR(S): Bebbington, Christopher R.; Dubois, Vincent;
Gangwar, Sanjeev; Lobl, Thomas J.; Nieder, Matthew
H.; Pickford, Leslie B.; Trouet, Andre;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Corixa Corporation, USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000263	A2	20020103	WO 2001-US40925	20010611
WO 2002000263	A3	20020815		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL,				

MC, PT, TE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004510703 T2 20040408 JP 2002-510122 20010611
US 2000-211887P 20000614
US 2001-290448P 20010511
WO 2001-US18903 20010611
PRIORITY APPLN. INFO.:

REFERENCE 7

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process
for
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144011	A2	20011017	EP 1999-967462	19991210
EP 1144011	A3	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
PRIORITY APPLN. INFO.:				
US 1998-111793P 19981211				
US 1999-119312P 19990208				

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1294403 A2 20030326 EP 2001-942249 20010611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, TE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004501875 T2 20040122 JP 2002-505044 20010611
US 2003181359 A1 20030925 US 2002-311519 20021213
US 2000-212880P 20000614
PRIORITY APPLN. INFO.:

REFERENCE 6

ACCESSION NUMBER: 136:58787 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent;
Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.;
Trouet, Andre;
PATENT ASSIGNEE(S): Yarranton, Geoffrey T.
Corixa Corporation, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095945	A2	20011220	WO 2001-US18903	20010611
WO 2001095945	A3	20020815		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1294405	A2	20030326	EP 2001-950291	20010611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,				

WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

=> FIL HCAPLUS
COST IN U.S. DOLLARS
TOTAL
SESSION
FULL ESTIMATED COST
168.85
SINCE FILE
ENTRY
168.84

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FILE COVERS 1907 - 23 Oct 2004 VOL 141 ISS 18
FILE LAST UPDATED: 22 Oct 2004 (20041022/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE 'REGISTRY' ENTERED AT 11:32:52 ON 23 OCT 2004
L1 STRUCTURE UPLOADED
L2 0 S L1 SAM FAM
L3 4 S L1 FUL

FILE 'HCAPLUS' ENTERED AT 11:36:28 ON 23 OCT 2004

=> s l3
L4 8 L3
=> d l4 1-8 ibib abs

L4 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER: 2003:733803 HCAPLUS Full-text
DOCUMENT NUMBER: 140:156884

TITLE: CD10 Is a Key Enzyme Involved in the
Activation of Tumor-activated Peptide Prodrug CPI-0004Na
and Novel Analogues: Implications for the Design of
Novel Peptide Prodrugs for the Therapy of CD10+
Tumors
AUTHOR(S): Pan, Chin; Cardarelli, Pina M.; Nieder,
Matthew H.; Pickford, Lesley B.; Gangwar, Sanjeev; King,
David J.; Yarranton, Geoffrey T.; Buckman, Dana;
Roscoe, William; Zhou, Fengmin; Salles, Adam; Chen,
Tseng-Hui; Horgan, Killian; Wang, Yi-Hong; Nguyen, Thi;
Bebington, Christopher R.
CORPORATE SOURCE: Corixa Corp., South San Francisco, CA.
94080, USA
SOURCE: Cancer Research (2003), 63(17), 5526-5531
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Traditional chemotherapeutic drugs are often restricted by
severe side effects and lack of tumor specificity. Peptide
prodrugs cleavable by peptidases present in the tumor
environment have been explored to improve the therapeutic index
of cytotoxic drugs. One such prodrug of doxorubicin (Dox), CPI-
0004Na [N-succinyl-beta-alanyl-L-leucyl-L-alanyl-L-leucyl-Dox
(SALAL-Dox)] has been shown to have an improved antitumor
efficacy profile with reduced toxicity compared with Dox in
tumor xenograft models (V. Dubois et al., Cancer Res., 62: 2327-
2331, 2002). In this study, we demonstrate that CD10, a cell
surface metalloprotease expressed on a variety of tumor cell
types, is capable of cleaving CPI-0004Na and related peptide
prodrugs such as N-succinyl-beta-alanyl-L-isoleucyl-L-alanyl-L-
leucyl-Dox (SALAL-Dox). This proteolytic cleavage generates
leucyl-Dox, which is capable of entering cells and generating
intracellular Dox. In a [3H]thymidine proliferation assay,
analogs of CPI-0004Na showed a 100-300-fold increase in potency
on CD10+ cells compared with CD10- cells. Cytotoxicity of CPI-
0004Na was inhibited by phosphoramidon, a known inhibitor of
CD10 enzymic activity. Furthermore, Chinese hamster ovary CHO-S
cells, which are resistant to CPI-0004Na, could be sensitized to
the cytotoxic effect of the prodrug by transfection of a CD10
cDNA. Tumor xenograft studies using LNCaP prostate tumor cells
support the important role of CD10 in the antitumor efficacy of
these prodrugs against tumors expressing CD10. CPI-0004Na and
SALAL-Dox achieved statistically significant 70% tumor growth
inhibition at day 22. CD10 is expressed on many types of human
tumors including B-cell lymphoma, leukemia, and prostate,
breast, colorectal, and lung carcinomas; therefore, CD10-
cleavable prodrugs may be effective in a range of different
tumor types.

20020611
OTHER SOURCE(S): MARPAT 138:29142
AB The compds. of the invention are modified forms of therapeutic
agents. A typical prodrug compound of the invention comprises a
therapeutic agent, an oligopeptide, a stabilizing group and,
optionally, a linker group. The prodrug is cleavable by the
CD10 enzyme. Methods of treatment using the prodrug and methods
of designing a prodrug are also disclosed. For example, a
tetrapeptide prodrug, Suc-Pala-Ile-Ala-Leu-Dox (preparation
given), was better tolerated in mice than doxorubicin. The
prodrug was efficacious in mice bearing doxorubicin-resistant
human colorectal carcinoma at a dose of 53 or 68 mg/kg
(equivalent to 30 or 38 mg/kg doxorubicin) at 5 days intervals
for a total of five identical doses. Doxorubicin alone, at its
tolerated dose (3 mg/kg), under this dosing regimen, is
historically ineffective.

L4 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER: 2002:755199 HCAPLUS Full-text
DOCUMENT NUMBER: 137:284323
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part
of Appl. No. PCT/US99/30393.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
US 2002142955	A1	20021003	US 2001-879442
20010611			
WO 2000033888	A2	20000615	WO 1999-US30393
19991210			
WO 2000033888	A3	20011108	
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,			

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT
L4 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER: 2002:964147 HCAPLUS Full-text
DOCUMENT NUMBER: 138:29142
TITLE: CD10-activated prodrug compounds
INVENTOR(S): Bebbington, Christopher R.; Nieder, Matthew
H.; Cardarelli, Pina M.; Gangwar, Sanjeev;
Pickford, Lesley B.; Pan, Chin
PATENT ASSIGNEE(S): Medarex, Inc., USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PTOXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
WO 2002100353	A2	20021219	WO 2002-US21135
20020610			
WO 2002100353	A3	20030522	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
AZ, BY, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, FR, GB, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, CM, GA, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1404356	A2	20040407	EP 2002-746852
20020611			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004087497	A1	20040506	US 2002-167627
20020611			
PRIORITY APPLN. INFO.: US 2001-297596P			P
20010611			
			WO 2002-US21135 W

SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.: US 1998-111793P			P
19981211			
			US 1999-119312P P
19990208			
			WO 1999-US30393 A2
19991210			
			US 2000-211887P P
20000614			
			US 2001-290448P P

20010511
OTHER SOURCE(S): MARPAT 137:284323
AB The prodrug of the invention is a modified form of a therapeutic
agent and comprises a therapeutic agent, an oligopeptide, a
stabilizing group and, optionally, a linker group. The prodrug
is cleavable by the enzyme thimet oligopeptidase, or TOP. Also
disclosed are methods of designing prodrugs by utilizing TOP-
cleavable sequences within the conjugate and methods of treating
patients with prodrugs of the invention.

L4 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER: 2002:323079 HCAPLUS Full-text
DOCUMENT NUMBER: 137:241802
TITLE: CPI-0004Na, a new extracellularly tumor-
activated prodrug of doxorubicin: in vivo toxicity,
activity, and tissue distribution confirm tumor cell
selectivity
AUTHOR(S): Dubois, Vincent; Dasnois, Luc; Lebtahi,
Karim; Collot, Francoise; Heylen, Nathalie; Havaux,
Nathalie; Fernandez, Anne-Marie; Lobl, Thomas J.;
Oliyai, Cecilia; Nieder, Matthew; Shochat, Dan;
Yarranton, Geoffrey T.; Trouet, Andre
CORPORATE SOURCE: Universite Catholique de Louvain, Laboratory
of Cell Biology, Louvain-la-Neuve, B-1348, Belg.
SOURCE: Cancer Research (2002), 62(8), 2327-2331
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The search for cancer therapies that are more selective for
tumor cells and spare normal sensitive cells has been very
active for at least 20 yr. The extracellularly tumor-activated

peptidic prodrug of doxorubicin (Dox) CPI-0004Na (N-succinyl- β -alanyl-L-leucyl-L-alanyl-L-leucyl-Dox) is potentially such a treatment. Here, we report the results of lethality studies performed with this compound in the mouse, showing that it is up to 4.6 times less toxic than Dox-HCl by the i.v. route and up to 16.2 times after i.p. administration. Pharmacokinetics and tissue distribution data indicate that this reduced toxicity is attributable to a lower uptake of Dox in normal tissues after treatment with CPI-0004Na than after the administration of an equimolar dose of Dox-HCl. For example, heart exposure to Dox is reduced > 10-fold. Because of this reduced toxicity, higher doses of CPI-0004Na than of the parent drug could be used to treat nude mice bearing s.c. human breast (MCF-7/6) and colon (LS-174-T and CFX-280/10) tumors. In all three models, the prodrug showed a much improved efficacy as compared with Dox-HCl. Particularly, LS-174-T tumors that do not respond to Dox were inhibited by 68% after treatment with CPI-0004Na. Tissue distribution studies performed with MCF-7/6 tumor-bearing nude mice and comparing CPI-0004Na and Dox-HCl confirmed that the improved activity of the prodrug is actually the result of selective generation and uptake of Dox at the tumor site. Dox levels in tumor tissue were 2-fold higher after treatment with CPI-0004Na than after treatment with an equimolar dose of Dox-HCl, whereas normal tissue levels were reduced 1.4-29-fold.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:10314 HCAPLUS Full-text
DOCUMENT NUMBER: 136:86054
TITLE: Tripeptide prodrug compounds
INVENTOR(S): Bebbington, Christopher R.; Dubois, Vincent; Gangwar, Sanjeev; Lobl, Thomas J.; Nieder, Matthew H.; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Corixa Corporation, USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
WO 2002000263	A2	20020103	WO 2001-US40925
20010611			
WO 2002000263	A3	20020815	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,			

Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.; Trouet, Andre; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Corixa Corporation, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
WO 2001095945	A2	20011220	WO 2001-US18903
20010611			
WO 2001095945	A3	20020815	
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UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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TR, BF, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,			
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JP 2004510703 T2 20040408 JP 2002-510122			
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PRIORITY APPLN. INFO.: US 2000-211887P P			
20000614 US 2001-290448P P			
20010511			
WO 2001-US18903 W			

20010611
OTHER SOURCE(S): MARPAT 136:58787
AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide, a stabilizing group and, optionally, a linker group. The prodrug is cleavable by the enzyme, thimet oligopeptidase (TOP). Also disclosed are methods of designing prodrugs by utilizing TOP-cleavage sequences within the conjugate and methods of treating patients with prodrugs of the invention.

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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EP 1294403 A2 20030326 EP 2001-942249
20010611
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JP 2004501875 T2 20040122 JP 2002-505044
20010611
US 2003181359 A1 20030925 US 2002-311519
20021213
PRIORITY APPLN. INFO.: US 2000-212880P P
20000614 WO 2001-US40925 W

20010611
OTHER SOURCE(S): CASREACT 136:86054; MARPAT 136:86054
AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide AA3-AA2-AA1 (AA1 is leucine, phenylalanine, isoleucine, alanine, glycine, tyrosine, 2-naphthylalanine, or serine; AA2 is alanine, leucine, tyrosine, glycine, serine, 3-pyridylalanine, 2-thienylalanine, aminoisobutyric acid, threonine, or phenylalanine; AA3 is leucine, sarcosine, tyrosine, phenylalanine, p-chloro- or p-nitrophenylalanine, valine, norleucine, norvaline, phenylglycine, tryptophan, tetrahydroisoquinoline-3-carboxylic acid, 3-pyridylalanine, alanine, glycine, 2-thienylalanine, methionine, or proline), a stabilizing group and, optionally, a linker group. The prodrug is cleavable by a trouase enzyme such as thimet oligopeptidase. Thus, Suc-Leu-Ala-Leu-Dox (Suc = succinic acid residue, Dox = doxorubicin residue), prepared by conjugation of doxorubicin hydrochloride with Fmoc-Leu-Ala-Leu-OH, deprotection, and acylation with succinic anhydride, showed tumor-activated prodrug activity on LNCaP, HT-29 and PC-3 cells of 0.016, 0.052, and 0.075 μ M, resp. Suc-Leu-Ala-Leu-Dox is better tolerated in vivo than is doxorubicin.

L4 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:923644 HCAPLUS Full-text
DOCUMENT NUMBER: 136:58787
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent;

L4 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:653068 HCAPLUS Full-text
DOCUMENT NUMBER: 135:362468
TITLE: N-succinyl-(β -alanyl-L-leucyl-L-alanyl-L-leucyl)-doxorubicin: an extracellularly tumor-activated prodrug devoid of intravenous acute toxicity
AUTHOR(S): Fernandez, Anne-Marie; Van derpoorten, Kim; Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl, Thomas J.; Gangwar, Sanjeev; Oliyai, Cecilia; Lewis, Evan R.; Shochat, Dan; Trouet, Andre
CORPORATE SOURCE: Laboratory of Cell Biology, Universite Catholique de Louvain, Louvain-la-Neuve, B-1348, Belg.
SOURCE: Journal of Medicinal Chemistry (2001), 44(22), 3750-3753
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB I.v. administration of N-(β -alanyl-L-leucyl-L-alanyl-L-leucyl)-doxorubicin induces an acute toxic reaction, killing animals in a few minutes. This results from its pos. charge at physiol. pH combined with its propensity to form large aggregates in aqueous solns. Neg. charged N-capped versions of N-(β -alanyl-L-leucyl-L-alanyl-L-leucyl)-doxorubicin such as the succinyl derivative can be administered by the i.v. route at more than 10 times the LD50 of doxorubicin without inducing the acute toxic reaction, and they are active in vivo.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:401690 HCAPLUS Full-text
DOCUMENT NUMBER: 133:48878
TITLE: Oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
WO 2000033888	A2	20000615	WO 1999-US30393
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19991210			
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19991210			
US 2002142955	A1	20021003	US 2001-879442
20010611			
PRIORITY APPLN. INFO.: 19981211			
19990208			
19991210			
20000614			

20010511
OTHER SOURCE(S): MARPAT 133:48878
AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide, a stabilizing group and, optionally, a linker group. The prodrug is cleavable by the enzyme trypsin. Also disclosed are processes for making the prodrug compds.

60-63 63-64
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11-12 12-13 12-15 13-14 13-18 15-16 16-17 17-18 25-26 25-30
26-27 27-28 28-29 29-30
exact/norm bonds :
4-7 5-10 6-21 7-8 7-19 9-10 10-20 11-65 12-15 13-18 14-23
15-16 16-17 16-61 17-18 18-24 21-22 24-28 25-26 25-30 25-32
26-27 27-28 28-29 29-30 30-31 31-34 34-35 36-41 41-42 42-44
43-54 46-51 51-52 52-53 54-55 55-56 58-59 60-62 63-64
exact bonds :
16-60 26-33 34-36 36-37 37-38 38-39 38-40 42-43 43-45 46-47
46-55 47-48 48-49 48-50 52-57 57-58 60-63
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-11 9-14 11-12 12-13 13-14

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom
9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom
17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS
24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS
38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS
45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS
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FULL ESTIMATED COST 41.64
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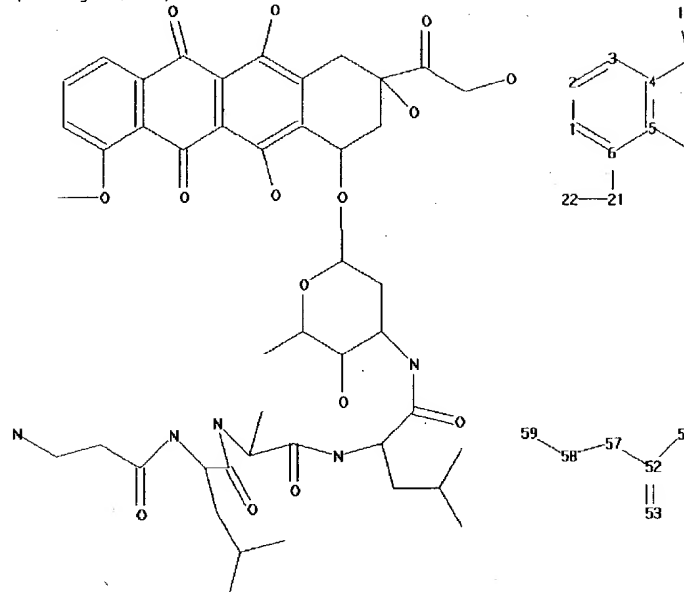
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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provided by Infochem.

STRUCTURE FILE UPDATES: 21 OCT 2004 HIGHEST RN 767117-28-2

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59 60 61 62 63 64 65
ring nodes :
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27 28 29 30
chain bonds :
6-21 7-19 10-20 11-65 14-23 16-60 16-61 18-24 21-22 24-28
25-32 26-33 30-31 31-34 34-35 34-36 36-37 36-41 37-38 38-39
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48-49 48-50 51-52 52-53 52-57 54-55 55-56 57-58 58-59 60-62

DICTIONARY FILE UPDATES: 21 OCT 2004 HIGHEST RN 767117-28-2

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Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for
details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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SEARCH TIME: 00.00.01

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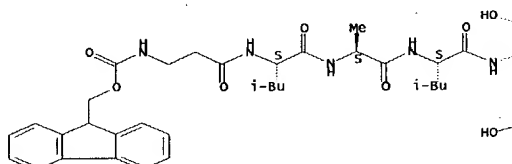
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L8 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274913-06-3 REGISTRY
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C60 H71 N5 O17
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

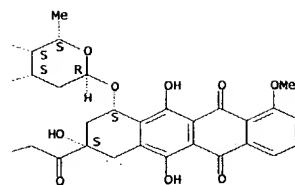
REFERENCE 2

ACCESSION NUMBER: 135:362468 CA Full-text
TITLE: N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly tumor-activated prodrug devoid of intravenous acute toxicity
AUTHOR(S): Fernandez, Anne-Marie; Van derpoorten, Kim; Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl, Thomas J.; Gangwar, Sanjeev; Oliyai, Cecilia; Lewis, Evan R.; Shochat, Dan; Trouet, Andre
CORPORATE SOURCE: Laboratory of Cell Biology, Universite Catholique de Louvain, Louvain-la-Neuve, B-1348, Belg.
SOURCE: Journal of Medicinal Chemistry (2001), 44(22), 3750-3753
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 13
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent



3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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EP 1144011 A2 20011017 EP 1999-967462 19991210
EP 1144011 A3 20020206
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AU 773420 B2 20040527 AU 2000-23733 19991210
US 2002142955 A1 20021003 US 2001-879442 20010611
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

L8 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274913-02-9 REGISTRY
CN Propanoic acid, 2-hydroxy-, compd. with (8S,10S)-10-[[3-[(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione (1:1) (9CI) (CA INDEX NAME)
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C45 H61 N5 O15 . C3 H6 O3
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
DT.CA Caplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP
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(Preparation)

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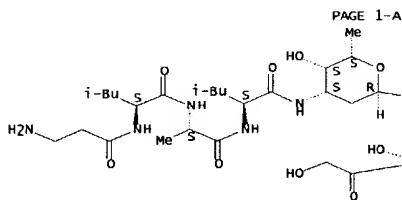
RELATED SEQUENCES AVAILABLE WITH SEQLINK

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RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



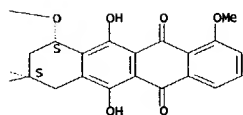
3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part
of Appl.
No. PCT/US99/30393.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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PRIORITY APPLN. INFO.: US 1998-111793P 19981211



CM 2

US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 135:362468 CA Full-text
TITLE: N-succinyl-(p-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly
tumor-activated
prodrug devoid of intravenous acute toxicity
AUTHOR(S): Fernandez, Anne-Marie; Van derpoorten, Kim;
Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl,
Thomas J.; Gangwar, Sanjeev; Oliyai, Cecilia; Lewis,
Evan R.; Shochat, Dan; Trouet, Andre
CORPORATE SOURCE: Laboratory of Cell Biology, Universite
Catholique de Louvain, Louvain-la-Neuve, B-1348, Belg.
SOURCE: Journal of Medicinal Chemistry (2001),
44(22), 3750-3753
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 13
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process
for
preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

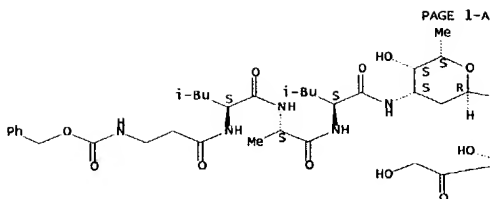
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EP 1144011 A2 20011017 EP 1999-967462 19991210
EP 1144011 A3 20020206
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JP 2003518000 T2 20030603 JP 2000-586378 19991210
AU 773420 B2 20040527 AU 2000-23733 19991210
US 2002142955 A1 20021003 US 2001-879442 20010611
PRIORITY APPLN. INFO.: US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

L8 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
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alpha-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C53 H67 N5 O17
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP
(Preparation); USES
(Uses)

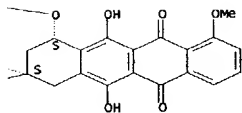
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part
of Appl.
No. PCT/US99/30393.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
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EP 1144011 A2 20011017 EP 1999-967462 19991210
EP 1144011 A3 20020206
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MC, PT, IE, SI, LT, LV, FI, RO
JP 2003518000 T2 20030603 JP 2000-586378 19991210
AU 773420 B2 20040527 AU 2000-23733 19991210
US 2002142955 A1 20021003 US 2001-879442 20010611
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

L8 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274912-91-3 REGISTRY
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-
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(diphenylmethyl)-
P-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-alpha-L-lyxo-
hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C58 H71 N5 O15
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP
(Preparation); USES
(Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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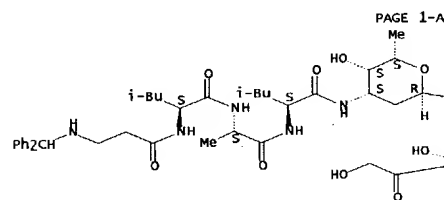
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PRIORITY APPLN. INFO.:
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

REFERENCE 2

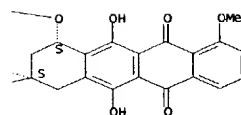
ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: oligopeptide prodrug compounds and process
for
preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
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PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part
of Appl.
No. PCT/US99/30393.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
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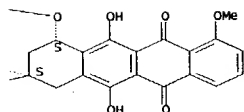
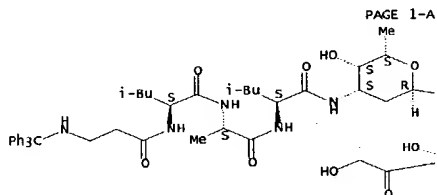
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US 1998-111793P 19981211
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WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
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2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.
DOCUMENT TYPE: Patent
LANGUAGE: English

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EP 1144011 A2 20011017 EP 1999-967462 19991210
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US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

LB ANSWER 5 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
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DT CA CAPLUS document type: Patent
RL P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

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WO 2000033888	A3	20011108		

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PRIORITY APPLN. INFO.:
US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
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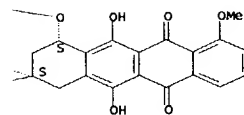
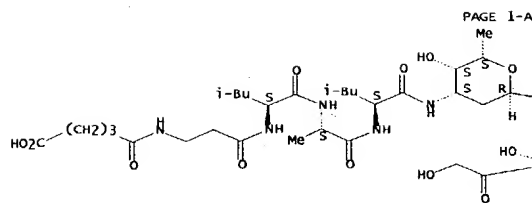
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C50 H67 N5 O18
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
DT CA Caplus document type: Patent
RL P Roles from patents: BIOL (Biological study); PRP (Preparation); PRP (Properties); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl., 86 pp., Cont.-in-part of Appl.
DOCUMENT TYPE: No. PCT/US99/30393.
LANGUAGE: CODEN: USXXCO
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English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

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US 2002142955	A1	20021003	US 2001-879442	20010611
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PRIORITY APPLN. INFO.:

REFERENCE 2

ACCESSION NUMBER: 136:58787 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent; Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.; Trouet, Andre; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Corixa Corporation, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095945	A2	20011220	WO 2001-US18903	20010611
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REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viskil, Peter; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

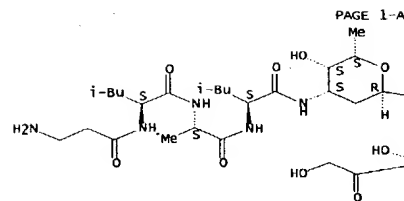
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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 EP 1144011 A3 20020206
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 MC, PT, IE, SI, LT, LV, FI, RO
 JP 2003518000 T2 20030603 JP 2000-586378 19991210
 AU 773420 B2 20040527 AU 2000-23733 19991210
 US 2002142955 A1 20021003 US 2001-879442 20010611
 PRIORITY APPLN. INFO.: US 1998-111793P 19981211
 US 1999-119312P 19990208
 WO 1999-US30393 19991210
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 US 2001-290448P 20010511

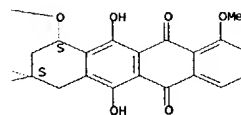
L8 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 177953-52-5 REGISTRY
 CN 5,12-Naphthacenedione, 10-[[3-[(β -alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI)
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 MF C45 H61 N5 O15
 CI COM
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT CA Caplus document type: Journal; Patent
 RL P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 RL NP Roles from non-patents: BIOL (Biological study); PROC (Process); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PAGE 1-B



4 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
 TITLE: Enzyme-cleavable prodrug compounds
 INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley A.; Trouet, Andre; Yarranton, Geoffrey T.
 PATENT ASSIGNEE(S): Belg. U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.
 SOURCE: No. PCT/us99/30393.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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 US 1999-119312P 19990208
 WO 1999-US30393 19991210
 US 2000-211887P 20000614
 US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 135:116741 CA Full-text
 TITLE: Extracellularly tumor-activated prodrugs for the selective chemotherapy of cancer: doxorubicin and preliminary in vitro and in vivo studies
 AUTHOR(S): Trouet, Andre; Passioukov, Alexandre; Van derpoorten, Kim; Fernandez, Anne-Marie; Abarca-Quinones, Jorge; Baurain, Roger; Lobl, Thomas J.; Oliyai, Cecilia; Shochat, Dan; Dubois, Vincent
 CORPORATE SOURCE: Laboratory of Cell Biology, Universite Catholique de Louvain, Louvain-la-Neuve, B-1348, Belg.
 SOURCE: Cancer Research (2001), 61(7), 2843-2846
 CODEN: CNREAS; ISSN: 0008-5472
 PUBLISHER: American Association for Cancer Research
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 REFERENCE COUNT: 17
 AVAILABLE FOR THIS: THERE ARE 17 CITED REFERENCES

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text
 TITLE: oligopeptide prodrug compounds and process for preparation thereof
 INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.
 PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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 EP 1144011 A3 20020206
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 JP 2003518000 T2 20030603 JP 2000-586378 19991210
 AU 773420 B2 20040527 AU 2000-23733 19991210
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 PRIORITY APPLN. INFO.: US 1998-111793P 19981211
 US 1999-119312P 19990208
 WO 1999-US30393 19991210
 US 2000-211887P 20000614
 US 2001-290448P 20010511

REFERENCE 4

ACCESSION NUMBER: 125:49345 CA Full-text
 TITLE: Compounds, pharmaceutical composition and diagnostic
 INVENTOR(S): Trouet, Andre; Baurain, Roger
 PATENT ASSIGNEE(S): La Region wallonne, Belg.; Baurain, Roger
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605863	A1	19960229	WO 1995-BE76	19950821
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CA 2203622	AA	19960229	CA 1995-2203622	19950821
AU 9532486	A1	19960314	AU 1995-32486	19950821
AU 694546	B2	19980723		
EP 769967	A1	19970502	EP 1995-928905	19950821
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NO 9700748	A	19970410	NO 1997-748	19970218
US 5962216	A	19991005	US 1997-793910	19970401
US 6342480	B1	20020129	US 1999-298330	19990423
US 2002160943	A1	20021031	US 2001-12576	20011109
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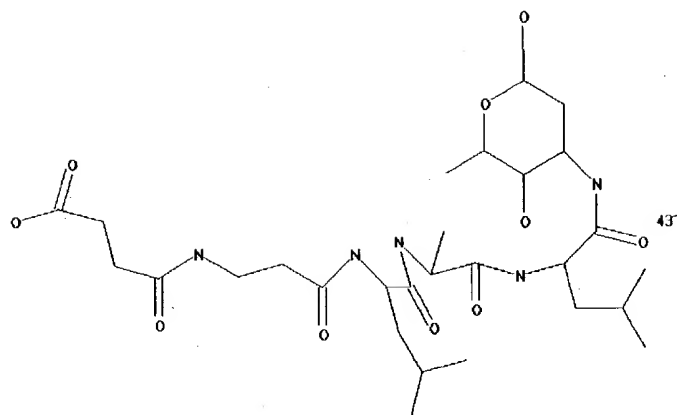
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 L11 5 S L9 FUL

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=> l12 not l8



chain nodes :
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 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
 42 43
 ring nodes :
 2 3 4 5 6 7
 chain bonds :
 1-5 2-9 3-10 7-8 8-11 11-12 11-13 13-14 13-18 14-15 15-16
 15-17 18-19 19-20 19-21 20-22 20-31 23-28 23-24 23-32 24-25
 25-26 25-27 28-29 29-30 29-34 31-32 32-33 34-35 35-36 36-37
 37-38 37-39 39-40 40-41 41-42 41-43
 ring bonds :
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 exact/norm bonds :
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 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS
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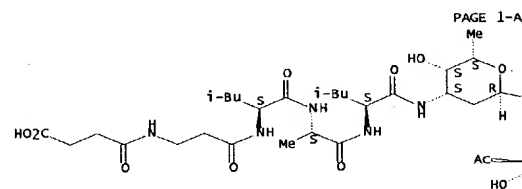
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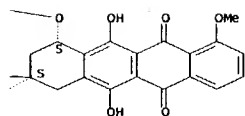
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 MF C49 H65 N5 O17
 SR CA
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 DT:CA Caplus document type: Patent
 RL:P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PAGE 1-A



3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Belg.
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part
of Appl. No. PCT/US99/30393.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text
TITLE: Oligopeptide prodrug compounds and process
for preparation thereof
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;
Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
PRIORITY APPLN. INFO.: US 1998-111793P 19981211 US 1999-119312P 19990208 WO 1999-US30393 19991210 US 2000-211887P 20000614 US 2001-290448P 20010511				

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PRIORITY APPLN. INFO.: US 1998-111793P 19981211
US 1999-119312P 19990208
WO 1999-US30393 19991210
US 2000-211887P 20000614
US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 136:58787 CA Full-text
TITLE: Enzyme-cleavable prodrug compounds
INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent;
Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.;
Trouet, Andre; Yarranton, Geoffrey T.
PATENT ASSIGNEE(S): Corixa Corporation, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095945	A2	20011220	WO 2001-US18903	20010611
WO 2001095945	A3	20020815		
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5.60
SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:45:03 ON 23 OCT 2004